Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	28	dipeptide.clm. and phenyl.clm. and ether.clm.	US-PGPUB; USPAT; EPO; JPO; DERWENT	OR	ON	2006/01/31 15:49
L2	14	dipeptide.clm. and phenyl.clm. and ether.clm.	US-PGPUB	OR	ON	2006/01/31 15:49
L3	1	dipeptide.clm. near2 phenyl.clm. and ether.clm.	US-PGPUB	OR	ON	2006/01/31 15:49

```
=> b reg
FILE 'REGISTRY' ENTERED AT 14:35:59 ON 16 DEC 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   15 DEC 2004  HIGHEST RN 798532-74-8
DICTIONARY FILE UPDATES:  15 DEC 2004  HIGHEST RN 798532-74-8

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> d que stat l15
L6              STR
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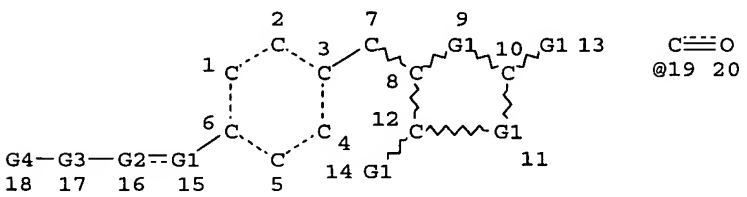


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VAR G1=N/O/S
REP G2=(0-1) CY
REP G3=(0-1) AK
VAR G4=NH/19
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC   8   3
NUMBER OF NODES IS  20

STEREO ATTRIBUTES: NONE
L8          5228 SEA FILE=REGISTRY SSS FUL L6
L12             STR
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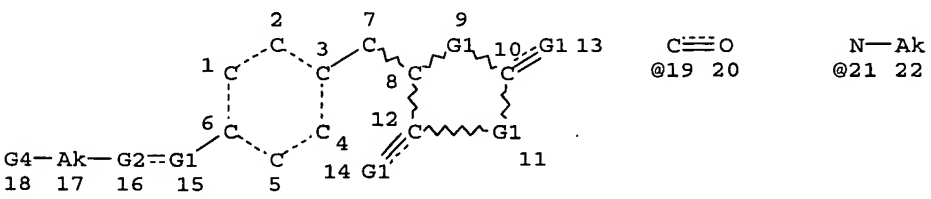


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VAR G1=NH/21/O/S
REP G2=(0-1) CY
VAR G4=NH/19
NODE ATTRIBUTES:
CONNECT IS E1  RC AT  22
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED
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GRAPH ATTRIBUTES:
RSPEC   8   3
NUMBER OF NODES IS  22

STEREO ATTRIBUTES: NONE
L14         1461 SEA FILE=REGISTRY SUB=L8 SSS FUL L12
L15          167 SEA FILE=REGISTRY ABB=ON  PLU=ON  L14 AND (ALANIN? OR ARGININ?
                 OR ASPARAGIN? OR ASPART? OR CYST? OR GLUTAM? OR GLYCIN? OR
                 HISTID? OR ISOLEUC? OR LEUC? OR LYS? OR METHION? OR PHENYLALAN?
                  OR PROL? OR SERIN? OR THREONIN? OR TRYPTOPH? OR VALIN? OR
                 TYROSIN?)


=> d his

     (FILE 'HOME' ENTERED AT 13:48:46 ON 16 DEC 2004)

     FILE 'HCAPLUS' ENTERED AT 13:49:50 ON 16 DEC 2004
                 E WO2004-US32931/AP,PRN
                 E NAG B/AU
L1           113 E3,E16-17,E19
                 E NAG A/AU
L2            76 E3-5,E7-8
                 E DEY D/AU
L3            83 E3-6,E11-12
                 E NEOGI P/AU
L4           116 E3-5,E8-9
L5             1 BEXEL/CS,PA

     FILE 'REGISTRY' ENTERED AT 14:08:08 ON 16 DEC 2004
L6               STR
L7            39 L6
L8          5228 L6 FULL
L9               STR L6
L10              STR L9
L11           50 L10 SAM SUB=L8
L12              STR L10
L13           50 L12 SAM SUB=L8
L14         1461 L12 FULL SUB=L8
                 SAV TEMP L8 GAR931F0/A
                 SAV TEMP L14 GAR931S0/A
L15          167 L14 AND (ALANIN? OR ARGININ? OR ASPARAGIN? OR ASPART? OR CYST?

     FILE 'HCAPLUS' ENTERED AT 14:32:41 ON 16 DEC 2004
L16            5 L15
L17            1 L1-5 AND L16
L18            4 L16 NOT L17

     FILE 'HCAOLD' ENTERED AT 14:33:10 ON 16 DEC 2004
L19            0 L15

=> b hcap
FILE 'HCAPLUS' ENTERED AT 14:36:39 ON 16 DEC 2004
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```

FILE COVERS 1907 - 16 Dec 2004 VOL 141 ISS 25
FILE LAST UPDATED: 15 Dec 2004 (20041215/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d all fhitstr l17

L17 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:589256 HCAPLUS
DN 141:140764
ED Entered STN: 23 Jul 2004
TI Preparation of amino acid phenoxy ethers as inhibitors of cytokines
IN **Nag, Bishwajit; Nag, Abhijeet; Dey, Debendranath**; Agarwal, Shiv Kumar
PA **Bexel** Pharmaceuticals, Inc., USA
SO U.S. Pat. Appl. Publ., 47 pp.
CODEN: USXXCO
DT Patent
LA English
IC ICM C07D277-16
ICS A61K031-426; A61K031-421
NCL 514369000; 514376000; 548183000; 548227000
CC 34-2 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2004142991	A1	20040722	US 2003-356113	20030131
US 6794401	B2	20040921		
WO 2004066964	A2	20040812	WO 2004-US790	20040113
WO 2004066964	C2	20040902		

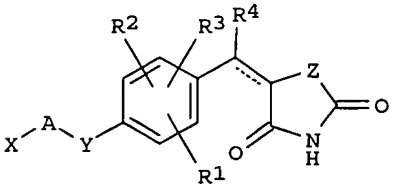
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI

PRAI US 2003-440772P	P	20030117	
US 2003-356113	A	20030131	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2004142991	ICM	C07D277-16
	ICS	A61K031-426; A61K031-421
	NCL	514369000; 514376000; 548183000; 548227000
US 2004142991	ECLA	C07D263/44D; C07D277/34

OS MARPAT 141:140764
GI



I

AB Novel amino acid Ph ethers, e.g. tyrosine Ph ethers, or tautomeric forms, stereoisomers, polymorphs, pharmaceutically acceptable salts, or pharmaceutically acceptable solvates thereof [I; wherein the dotted line represents an optional double bond; Y = O, S, NR (wherein R represents hydrogen or alkyl); Z = O, S; R1-R4 = H, halogen, HO, nitro, cyano, formyl, amino, alkyl, alkoxy; A = a bond or substituted or unsubstituted aryl, heterocyclyl or heteroaryl ring; X = an alpha aminocarboxylic acid or alpha aminocarboxylic acid derivative bonded to A or Y through its alpha side chain] are prepared Also provided are a method for reducing glucose, free fatty acids, cholesterol, or triglyceride levels in plasma,. These compds. inhibit cytokines such as TNFα, IL-6, and IL-1β and exhibit activity for the treatment of immunol. diseases mediated by cytokines, autoimmune diseases such as multiple sclerosis and rheumatoid arthritis, inflammation mediated by cyclooxygenase, obesity, hyperlipidemia, hypertension, neurol. diseases and diabetes, or a disorder associated with insulin resistance. Unlike other thiazolidine-compds. (TZD mols.), the compds. I exhibit no adipocyte differentiation, reduce body weight gain, and appear to have no affinity for PPAR-g and thereby are different from known TZD mols., which typically have adipocyte differentiation activity, increase weight gain, and are PPAR-g agonists. Thus, Me 2-[(tert-butoxycarbonyl)amino]-3-(4-hydroxyphenyl)propanoate was treated with NaH in DMF and etherified with 4-Fluorobenzaldehyde at 80° to give Me 2-[(tert-butoxycarbonyl)amino]-3-[-(4-formylphenoxy)phenyl]propanoate which was condensed with 2,4-thiazolidinedione in the presence of benzoic acid and piperidine at 145-155° under reflux with continuous removal of water using Dean-Stark apparatus for 5 h followed by treatment with HCl in CH2Cl2 to give 5-[4-[4-(2-amino-2-methoxycarbonylethyl)phenoxy]benzylidene]thiazolidine-2,4-dione hydrochloride (II). Catalytic hydrogenation of II over Pd/C in methanol gave 5-[4-[4-(2-amino-2-methoxycarbonylethyl)phenoxy]benzyl]thiazolidine-2,4-dione (III). III lowered pro-inflammatory cytokines in human macrophage cells and in an animal model of inflammation inhibited carrageenan-induced paw edema in SD rats.

ST amino acid phenoxy ether prepn inhibitor cytokine; tyrosine phenyl ether prepn treatment cytokine mediated immunol disease; autoimmune diseases treatment tyrosine phenyl ether prepn; multiple sclerosis rheumatoid arthritis treatment tyrosine phenyl ether prepn; inflammation mediated cyclooxygenase treatment tyrosine phenyl ether prepn; obesity hyperlipidemia hypertension treatment tyrosine phenyl ether prepn; neurol disease diabetes treatment tyrosine thiazolidinylmethylphenyl ether prepn

IT Fatty acids, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(agents for reducing free fatty acids in plasma; preparation of tyrosine thiazolidinylmethylphenyl ether derivs. for treatment of immunol. diseases, inflammation, obesity, hyperlipidemia, hypertension, neurol. diseases, and diabetes)

IT Glycerides, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(agents for reducing triglycerides in plasma; preparation of tyrosine thiazolidinylmethylphenyl ether derivs. for treatment of immunol. diseases, inflammation, obesity, hyperlipidemia, hypertension, neurol. diseases, and diabetes)

IT Immunity
(disorder; preparation of tyrosine thiazolidinylmethylphenyl ether derivs. for treatment of immunol. diseases, inflammation, obesity, hyperlipidemia, hypertension, neurol. diseases, and diabetes)

IT Lipids, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(hyperlipidemia; preparation of tyrosine thiazolidinylmethylphenyl ether derivs. for treatment of immunol. diseases, inflammation, obesity, hyperlipidemia, hypertension, neurol. diseases, and diabetes)

IT Anti-inflammatory agents
Anticholesteremic agents
Antidiabetic agents
Antihypertensives

Antiobesity agents
Antirheumatic agents
Autoimmune disease
Diabetes mellitus
Human
Hypertension
Hypolipemic agents
Inflammation
Multiple sclerosis
Nervous system, disease
Obesity
Rheumatoid arthritis
(preparation of tyrosine thiazolidinylmethylphenyl ether derivs. for treatment of immunol. diseases, inflammation, obesity, hyperlipidemia, hypertension, neurol. diseases, and diabetes)

IT Amino acids, preparation
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tyrosine thiazolidinylmethylphenyl ether derivs. for treatment of immunol. diseases, inflammation, obesity, hyperlipidemia, hypertension, neurol. diseases, and diabetes)

IT Cytokines
Interleukin 1β
Interleukin 6
Tumor necrosis factors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of tyrosine thiazolidinylmethylphenyl ethers derivs. as inhibitors of TNFα, IL-6, and IL-1β)

IT 9004-10-8, Insulin, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(disorders associated with insulin resistance; preparation of tyrosine thiazolidinylmethylphenyl ether derivs. for treatment of immunol. diseases, inflammation, obesity, hyperlipidemia, hypertension, neurol. diseases, and diabetes)

IT 39391-18-9, Cyclooxygenase
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors; preparation of tyrosine thiazolidinylmethylphenyl ether derivs. for treatment of immunol. diseases, inflammation, obesity, hyperlipidemia, hypertension, neurol. diseases, and diabetes)

IT **724760-26-3P**, 5-[4-[4-(2-tert-Butoxycarbonylamino-2-methoxycarbonylethyl)phenoxy]benzylidene]thiazolidine-2,4-dione
724760-27-4P, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]benzylidene]thiazolidine-2,4-dione
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(intermediate; preparation of tyrosine thiazolidinylmethylphenyl ether derivs. for treatment of immunol. diseases, inflammation, obesity, hyperlipidemia, hypertension, neurol. diseases, and diabetes)

IT 724760-25-2P, Methyl 2-[(tert-butoxycarbonyl)amino]-3-[4-(4-formylphenoxy)phenyl]propanoate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of tyrosine thiazolidinylmethylphenyl ether derivs. for treatment of immunol. diseases, inflammation, obesity, hyperlipidemia, hypertension, neurol. diseases, and diabetes)

IT **724760-24-1P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]benzyl]thiazolidine-2,4-dione hydrochloride **724760-28-5P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]benzyl]thiazolidine-2,4-dione **724760-29-6P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]benzylidene]thiazolidine-2,4-dione
724760-30-9P, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]benzyl]thiazolidine-2,4-dione **724760-31-0P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]benzylidene]oxazolidine-2,4-dione
724760-32-1P, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]benz

ylidene]oxazolidine-2,4-dione **724760-33-2P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]benzyl]oxazolidine-2,4-dione **724760-34-3P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]benzyl]oxazolidine-2,4-dione **724760-35-4P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-2,6-difluorobenzylidene]oxazolidine-2,4-dione **724760-36-5P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-2,6-difluorobenzylidene]oxazolidine-2,4-dione **724760-37-6P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-2,6-difluorobenzyl]oxazolidine-2,4-dione **724760-38-7P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-2,6-difluorobenzyl]oxazolidine-2,4-dione **724760-39-8P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-2,6-difluorobenzylidene]thiazolidine-2,4-dione **724760-40-1P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-2,6-difluorobenzylidene]thiazolidine-2,4-dione **724760-41-2P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-2,6-difluorobenzyl]thiazolidine-2,4-dione **724760-42-3P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-2,6-difluorobenzyl]thiazolidine-2,4-dione **724760-43-4P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-2,3-difluorobenzylidene]thiazolidine-2,4-dione **724760-44-5P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-2,3-difluorobenzylidene]thiazolidine-2,4-dione **724760-45-6P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-2,3-difluorobenzyl]thiazolidine-2,4-dione **724760-46-7P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-2,3-difluorobenzyl]thiazolidine-2,4-dione **724760-47-8P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-2,3-difluorobenzylidene]oxazolidine-2,4-dione **724760-49-0P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-2,3-difluorobenzylidene]oxazolidine-2,4-dione **724760-50-3P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-2,3-difluorobenzyl]oxazolidine-2,4-dione **724760-51-4P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-2,3-difluorobenzyl]oxazolidine-2,4-dione **724760-52-5P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-3-methylbenzylidene]oxazolidine-2,4-dione **724760-53-6P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-3-methylbenzylidene]oxazolidine-2,4-dione **724760-55-8P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-3-methylbenzyl]oxazolidine-2,4-dione **724760-56-9P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-3-methylbenzyl]oxazolidine-2,4-dione **724760-58-1P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-3-methylbenzylidene]thiazolidine-2,4-dione **724760-59-2P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-3-methylbenzylidene]thiazolidine-2,4-dione **724760-60-5P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-3-methylbenzyl]thiazolidine-2,4-dione **724760-61-6P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-3-methylbenzyl]thiazolidine-2,4-dione **724760-62-7P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-3-nitrobenzylidene]thiazolidine-2,4-dione **724760-63-8P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-3-nitrobenzylidene]thiazolidine-2,4-dione **724760-64-9P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-3-nitrobenzyl]thiazolidine-2,4-dione **724760-65-0P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-3-nitrobenzyl]thiazolidine-2,4-dione **724760-66-1P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-3-nitrobenzylidene]oxazolidine-2,4-dione **724760-67-2P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-3-nitrobenzylidene]oxazolidine-2,4-dione **724760-68-3P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-3-nitrobenzyl]oxazolidine-2,4-dione **724760-69-4P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-3-nitrobenzyl]oxazolidine-2,4-dione **724760-70-7P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-3-aminobenzylidene]thiazolidine-2,4-dione **724760-71-8P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-3-aminobenzylidene]thiazolidine-2,4-dione **724760-72-9P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-3-aminobenzyl]thiazolidine-2,4-dione **724760-73-0P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-3-aminobenzyl]thiazolidine-2,4-dione

724760-74-1P, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-3-aminobenzylidene]oxazolidine-2,4-dione **724760-75-2P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-3-aminobenzylidene]oxazolidine-2,4-dione **724760-76-3P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-3-aminobenzyl]oxazolidine-2,4-dione **724760-77-4P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-3-aminobenzyl]oxazolidine-2,4-dione **724760-78-5P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-2-fluorobenzylidene]thiazolidine-2,4-dione **724760-79-6P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-2-fluorobenzylidene]thiazolidine-2,4-dione **724760-80-9P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-2-fluorobenzyl]thiazolidine-2,4-dione **724760-81-0P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-2-fluorobenzyl]thiazolidine-2,4-dione **724760-82-1P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-2-fluorobenzylidene]oxazolidine-2,4-dione **724760-83-2P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-2-fluorobenzylidene]oxazolidine-2,4-dione **724760-84-3P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-2-fluorobenzyl]oxazolidine-2,4-dione **724760-85-4P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-2-fluorobenzyl]oxazolidine-2,4-dione **724760-86-5P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-3-fluorobenzylidene]thiazolidine-2,4-dione **724760-87-6P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-3-fluorobenzylidene]thiazolidine-2,4-dione **724760-88-7P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-3-fluorobenzyl]thiazolidine-2,4-dione **724760-89-8P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-3-fluorobenzyl]thiazolidine-2,4-dione **724760-90-1P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-3-fluorobenzylidene]oxazolidine-2,4-dione **724760-91-2P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-3-fluorobenzylidene]oxazolidine-2,4-dione **724760-92-3P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-3-fluorobenzyl]oxazolidine-2,4-dione **724760-93-4P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-3-fluorobenzyl]oxazolidine-2,4-dione **724760-94-5P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-2-trifluoromethylbenzylidene]thiazolidine-2,4-dione **724760-95-6P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-2-trifluoromethylbenzylidene]thiazolidine-2,4-dione **724760-96-7P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-2-trifluoromethylbenzyl]thiazolidine-2,4-dione **724760-97-8P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-2-trifluoromethylbenzyl]thiazolidine-2,4-dione **724760-98-9P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-2-trifluoromethylbenzylidene]oxazolidine-2,4-dione **724760-99-0P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-2-trifluoromethylbenzylidene]oxazolidine-2,4-dione **724761-00-6P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-2-trifluoromethylbenzyl]oxazolidine-2,4-dione **724761-01-7P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-2-trifluoromethylbenzyl]oxazolidine-2,4-dione **724761-02-8P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-3-trifluoromethylbenzylidene]thiazolidine-2,4-dione **724761-03-9P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-3-trifluoromethylbenzylidene]thiazolidine-2,4-dione **724761-04-0P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-3-trifluoromethylbenzyl]thiazolidine-2,4-dione **724761-05-1P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-3-trifluoromethylbenzyl]thiazolidine-2,4-dione **724761-06-2P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-3-trifluoromethylbenzylidene]oxazolidine-2,4-dione **724761-07-3P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-3-trifluoromethylbenzylidene]oxazolidine-2,4-dione **724761-08-4P**, 5-[4-[4-(2-Amino-2-carboxyethyl)phenoxy]-3-trifluoromethylbenzyl]oxazolidine-2,4-dione **724761-09-5P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)phenoxy]-3-trifluoromethylbenzyl]oxazolidine-2,4-dione **724761-10-8P**, 5-[4-[4-(2-Amino-2-carboxyethyl)-2,6-difluorophenoxy]benzylidene]oxazolidine-2,4-dione **724761-11-9P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-2,6-difluorophenoxy]benzylidene]o

xazolidine-2,4-dione **724761-12-0P**, 5-[4-[4-(2-Amino-2-carboxyethyl)-2,6-difluorophenoxy]benzyl]oxazolidine-2,4-dione **724761-13-1P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-2,6-difluorophenoxy]benzyl]oxazolidine-2,4-dione **724761-14-2P**, 5-[4-[4-(2-Amino-2-carboxyethyl)-2,6-difluorophenoxy]benzylidene]thiazolidine-2,4-dione **724761-15-3P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-2,6-difluorophenoxy]benzylidene]thiazolidine-2,4-dione **724761-16-4P**, 5-[4-[4-(2-Amino-2-carboxyethyl)-2,6-difluorophenoxy]benzyl]thiazolidine-2,4-dione **724761-17-5P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-2,6-difluorophenoxy]benzyl]thiazolidine-2,4-dione **724761-18-6P**, 5-[4-[4-(2-Amino-2-carboxyethyl)-2,3-difluorophenoxy]benzylidene]thiazolidine-2,4-dione **724761-19-7P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-2,3-difluorophenoxy]benzylidene]thiazolidine-2,4-dione **724761-20-0P**, 5-[4-[4-(2-Amino-2-carboxyethyl)-2,3-difluorophenoxy]benzyl]thiazolidine-2,4-dione **724761-21-1P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-2,3-difluorophenoxy]benzyl]thiazolidine-2,4-dione **724761-22-2P**, 5-[4-[4-(2-Amino-2-carboxyethyl)-2,3-difluorophenoxy]benzylidene]oxazolidine-2,4-dione **724761-23-3P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-2,3-difluorophenoxy]benzylidene]oxazolidine-2,4-dione **724761-24-4P**, 5-[4-[4-(2-Amino-2-carboxyethyl)-2,3-difluorophenoxy]benzyl]oxazolidine-2,4-dione **724761-25-5P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-2,3-difluorophenoxy]benzyl]oxazolidine-2,4-dione **724761-26-6P**, 5-[4-[4-(2-Amino-2-carboxyethyl)-3-methylphenoxy]benzylidene]oxazolidine-2,4-dione **724761-27-7P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-3-methylphenoxy]benzylidene]oxazolidine-2,4-dione **724761-28-8P**, 5-[4-[4-(2-Amino-2-carboxyethyl)-3-methylphenoxy]benzyl]oxazolidine-2,4-dione **724761-29-9P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-3-methylphenoxy]benzyl]oxazolidine-2,4-dione **724761-30-2P**, 5-[4-[4-(2-Amino-2-carboxyethyl)-3-methylphenoxy]benzylidene]thiazolidine-2,4-dione **724761-31-3P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-3-methylphenoxy]benzylidene]thiazolidine-2,4-dione **724761-32-4P**, 5-[4-[4-(2-Amino-2-carboxyethyl)-3-methylphenoxy]benzyl]thiazolidine-2,4-dione **724761-33-5P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-3-methylphenoxy]benzyl]thiazolidine-2,4-dione **724761-34-6P**, 5-[4-[4-(2-Amino-2-carboxyethyl)-3-nitrophenoxy]benzylidene]thiazolidine-2,4-dione **724761-35-7P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-3-nitrophenoxy]benzylidene]thiazolidine-2,4-dione **724761-36-8P**, 5-[4-[4-(2-Amino-2-carboxyethyl)-3-nitrophenoxy]benzyl]thiazolidine-2,4-dione **724761-37-9P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-3-nitrophenoxy]benzyl]thiazolidine-2,4-dione **724761-38-0P**, 5-[4-[4-(2-Amino-2-carboxyethyl)-3-nitrophenoxy]benzylidene]oxazolidine-2,4-dione **724761-39-1P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-3-nitrophenoxy]benzylidene]oxazolidine-2,4-dione **724761-40-4P**, 5-[4-[4-(2-Amino-2-carboxyethyl)-3-nitrophenoxy]benzyl]oxazolidine-2,4-dione **724761-41-5P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-3-nitrophenoxy]benzyl]oxazolidine-2,4-dione **724761-42-6P**, 5-[4-[4-(2-Amino-2-carboxyethyl)-3-aminophenoxy]benzylidene]thiazolidine-2,4-dione **724761-43-7P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-3-aminophenoxy]benzylidene]thiazolidine-2,4-dione **724761-44-8P**, 5-[4-[4-(2-Amino-2-carboxyethyl)-3-aminophenoxy]benzyl]thiazolidine-2,4-dione **724761-45-9P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-3-aminophenoxy]benzyl]thiazolidine-2,4-dione **724761-46-0P**, 5-[4-[4-(2-Amino-2-carboxyethyl)-3-aminophenoxy]benzylidene]oxazolidine-2,4-dione **724761-47-1P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-3-aminophenoxy]benzylidene]oxazolidine-2,4-dione **724761-48-2P**, 5-[4-[4-(2-Amino-2-carboxyethyl)-3-aminophenoxy]benzyl]oxazolidine-2,4-dione **724761-49-3P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-3-aminophenoxy]benzyl]oxazolidine-2,4-dione **724761-50-6P**, 5-[4-[4-(2-Amino-2-carboxyethyl)-2-fluorophenoxy]benzylidene]thiazolidine-2,4-dione **724761-51-7P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-2-fluorophenoxy]benzylidene]thiazolidine-2,4-dione **724761-52-8P**, 5-[4-[4-(2-Amino-2-carboxyethyl)-2-fluorophenoxy]benzyl]thiazolidine-2,4-dione **724761-53-9P**, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-2-fluorophenoxy]benzyl]thiazolidine-2,4-dione **724761-54-0P**, 5-[4-[4-(2-Amino-2-carboxyethyl)-2-

fluorophenoxy]benzylidene]oxazolidine-2,4-dione **724761-55-1P**,
5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-2-fluorophenoxy]benzylidene]oxazo
lidine-2,4-dione **724761-56-2P**, 5-[4-[4-(2-Amino-2-carboxyethyl)-
2-fluorophenoxy]benzyl]oxazolidine-2,4-dione **724761-57-3P**,
5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-2-fluorophenoxy]benzyl]oxazolidin
e-2,4-dione **724761-58-4P**, 5-[4-[4-(2-Amino-2-carboxyethyl)-3-
fluorophenoxy]benzylidene]thiazolidine-2,4-dione **724761-59-5P**,
5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-3-fluorophenoxy]benzylidene]thiaz
olidine-2,4-dione **724761-60-8P**, 5-[4-[4-(2-Amino-2-carboxyethyl)-
3-fluorophenoxy]benzyl]thiazolidine-2,4-dione **724761-61-9P**,
5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-3-fluorophenoxy]benzyl]thiazolidi
ne-2,4-dione **724761-63-1P**, 5-[4-[4-(2-Amino-2-carboxyethyl)-3-
fluorophenoxy]benzylidene]oxazolidine-2,4-dione **724761-64-2P**,
5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-3-fluorophenoxy]benzylidene]oxazo
lidine-2,4-dione **724761-65-3P**, 5-[4-[4-(2-Amino-2-carboxyethyl)-
3-fluorophenoxy]benzyl]oxazolidine-2,4-dione **724761-66-4P**,
5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-3-fluorophenoxy]benzyl]oxazolidin
e-2,4-dione **724761-67-5P**, 5-[4-[4-(2-Amino-2-carboxyethyl)-2-
trifluoromethylphenoxy]benzylidene]thiazolidine-2,4-dione
724761-68-6P, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-2-
trifluoromethylphenoxy]benzylidene]thiazolidine-2,4-dione
724761-69-7P, 5-[4-[4-(2-Amino-2-carboxyethyl)-2-
trifluoromethylphenoxy]benzyl]thiazolidine-2,4-dione **724761-70-0P**
, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-2-trifluoromethylphenoxy]benzyl
]thiazolidine-2,4-dione **724761-71-1P**, 5-[4-[4-(2-Amino-2-
carboxyethyl)-2-trifluoromethylphenoxy]benzylidene]oxazolidine-2,4-dione
724761-72-2P, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-2-
trifluoromethylphenoxy]benzylidene]oxazolidine-2,4-dione
724761-73-3P, 5-[4-[4-(2-Amino-2-carboxyethyl)-2-
trifluoromethylphenoxy]benzyl]oxazolidine-2,4-dione **724761-74-4P**
, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-2-trifluoromethylphenoxy]benzyl
]oxazolidine-2,4-dione **724761-75-5P**, 5-[4-[4-(2-Amino-2-
carboxyethyl)-3-trifluoromethylphenoxy]benzylidene]thiazolidine-2,4-dione
724761-76-6P, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-3-
trifluoromethylphenoxy]benzylidene]thiazolidine-2,4-dione
724761-77-7P, 5-[4-[4-(2-Amino-2-carboxyethyl)-3-
trifluoromethylphenoxy]benzyl]thiazolidine-2,4-dione **724761-78-8P**
, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-3-trifluoromethylphenoxy]benzyl
]thiazolidine-2,4-dione **724761-79-9P**, 5-[4-[4-(2-Amino-2-
carboxyethyl)-3-trifluoromethylphenoxy]benzylidene]oxazolidine-2,4-dione
724761-80-2P, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-3-
trifluoromethylphenoxy]benzylidene]oxazolidine-2,4-dione
724761-81-3P, 5-[4-[4-(2-Amino-2-carboxyethyl)-3-
trifluoromethylphenoxy]benzyl]oxazolidine-2,4-dione **724761-82-4P**
, 5-[4-[4-(2-Amino-2-methoxycarbonylethyl)-3-trifluoromethylphenoxy]benzyl
]oxazolidine-2,4-dione **724761-83-5P**, 5-[4-[4-(2-tert-
Butoxycarbonylamino-2-methoxycarbonylethyl)phenoxy]benzyl]thiazolidine-2,4-
dione **724761-84-6P**, 5-[4-[4-(2-tert-Butoxycarbonylamino-2-
methoxycarbonylethyl)phenoxy]benzylidene]oxazolidine-2,4-dione
724761-85-7P, 5-[4-[4-(2-tert-Butoxycarbonylamino-2-
methoxycarbonylethyl)phenoxy]benzyl]oxazolidine-2,4-dione
724761-86-8P, 5-[4-[4-(2-tert-Butoxycarbonylamino-2-
carboxyethyl)phenoxy]benzylidene]thiazolidine-2,4-dione
724761-87-9P, 5-[4-[4-(2-tert-Butoxycarbonylamino-2-
carboxyethyl)phenoxy]benzyl]thiazolidine-2,4-dione
724761-88-0P, 5-[4-[4-(2-tert-Butoxycarbonylamino-2-
carboxyethyl)phenoxy]benzylidene]oxazolidine-2,4-dione
724761-89-1P, 5-[4-[4-(2-t-Butoxycarbonylamino-2-
carboxyethyl)phenoxy]benzyl]oxazolidine-2,4-dione
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of tyrosine thiazolidinylmethylphenyl ether derivs. for
treatment of immunol. diseases, inflammation, obesity, hyperlipidemia,
hypertension, neurol. diseases, and diabetes)

IT 459-57-4, 4-Fluorobenzaldehyde 2295-31-0, 2,4-Thiazolidinedione

2346-26-1, 2,4-Oxazolidinedione 188576-13-8, Methyl 2-[(tert-butoxycarbonyl)amino]-3-(4-hydroxyphenyl)propanoate
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of tyrosine thiazolidinylmethylphenyl ether derivs. for treatment of immunol. diseases, inflammation, obesity, hyperlipidemia, hypertension, neurol. diseases, and diabetes)

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

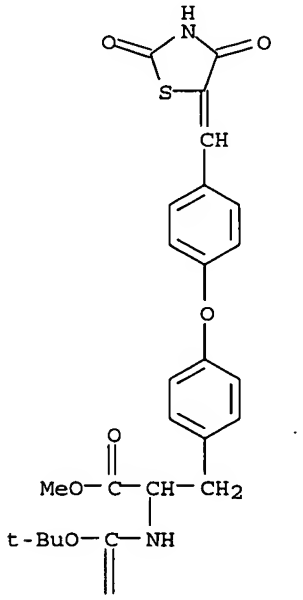
(1) Anon; WO 0064888 2000 HCAPLUS
(2) Anon; WO 0102377 A1 2001 HCAPLUS
(3) Anon; EP 1148054 A1 2001 HCAPLUS
(4) Druzgala; US 6680387 B2 2004 HCAPLUS
(5) Fujita; US 6706746 B2 2004 HCAPLUS
(6) Gravestock; US 6617339 B1 2003 HCAPLUS
(7) Hindley; US 6686475 B2 2004 HCAPLUS
(8) Malamas; US 6699896 B1 2004 HCAPLUS
(9) Miyachi; US 6730687 B1 2004 HCAPLUS
(10) Neogi; US 6331633 B1 2001
(11) Otterlei; US 5166137 A 1992 HCAPLUS
(12) Penza; US 5527546 A 1996
(13) Seno; US 6147100 A 2000 HCAPLUS
(14) Serlupi-Crescenzi; US 6004813 A 1999 HCAPLUS
(15) Sohda; US 5441971 A 1995 HCAPLUS
(16) Sohda; US 6552058 B1 2003 HCAPLUS
(17) Tajima; US 6664281 B1 2003 HCAPLUS
(18) Yoneda; US 6667328 B2 2003 HCAPLUS

IT **724760-26-3P**, 5-[4-[4-(2-tert-Butoxycarbonylamino-2-methoxycarbonylethyl)phenoxy]benzylidene]thiazolidine-2,4-dione
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(intermediate; preparation of tyrosine thiazolidinylmethylphenyl ether derivs. for treatment of immunol. diseases, inflammation, obesity, hyperlipidemia, hypertension, neurol. diseases, and diabetes)

RN 724760-26-3 HCAPLUS

CN Tyrosine, N-[(1,1-dimethylethoxy)carbonyl]-O-[4-[(2,4-dioxo-5-thiazolidinylidene)methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

=> d all hitstr l18 tot

L18 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:780554 HCAPLUS
DN 141:301422
ED Entered STN: 24 Sep 2004
TI Preparation of heterocyclic ligands for acid-stabilized insulin analogs
IN Ostergaard, Soren; Olsen, Helle Birk; Kaarsholm, Niels C.; Madsen, Peter; Jakobsen, Palle; Ludvigsen, Svend; Schluckebier, Gerd; Steensgaard, Dorte Bjerre; Petersen, Anders Klarskov
PA Novo Nordisk A/S, Den.
SO PCT Int. Appl., 473 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM A61K038-28
ICS A61K047-34; C07D249-00
CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 2, 28
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004080480	A1	20040923	WO 2004-DK158	20040311

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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI DK 2003-365	A	20030311	
US 2003-455400P	P	20030317	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2004080480	ICM	A61K038-28
	ICS	A61K047-34; C07D249-00
WO 2004080480	ECLA	A61K047/34; C07K014/62

AB Novel ligands for the His-B10 Zn2+ sites of the R-state insulin hexamer that are capable of prolonging the action of insulin prepns. are disclosed. A mixture of 4-aminobenzonitrile, sodium azide and ammonium chloride in DMF was heated at 125° for 16 h. The cooled mixture was filtered and the filtrate was concentrated to give 5-(4-aminophenyl)-2H-tetrazole. This was used as the ligand for His-B10 Zn2+ sites of the R-state insulin hexamer.
ST heterocyclic ligand insulin analog prepn; tetrazole ligand insulin analog prepn
IT Drug delivery systems
(controlled-release; preparation of heterocyclic ligands for acid-stabilized insulin analogs)
IT Diabetes mellitus
(insulin-dependent; preparation of heterocyclic ligands for acid-stabilized

insulin analogs)
IT Diabetes mellitus
(non-insulin-dependent; preparation of heterocyclic ligands for acid-stabilized insulin analogs)
IT Antidiabetic agents
Human
(preparation of heterocyclic ligands for acid-stabilized insulin analogs)
IT 7440-66-6, Zinc, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(-binding ligands; preparation of heterocyclic ligands for acid-stabilized insulin analogs)
IT 62-53-3, Benzenamine, reactions 71-41-0, 1-Pentanol, reactions 86-74-8, Carbazole 92-69-3, [1,1'-Biphenyl]-4-ol 92-70-6 93-09-4, 2-Naphthalenecarboxylic acid 95-20-5 98-88-4, Benzoyl chloride 99-76-3 99-88-7 104-86-9, 4-Chlorobenzylamine 104-94-9 105-36-2 107-14-2 108-95-2, Phenol, reactions 123-08-0 135-19-3, 2-Naphthalenol, reactions 150-13-0 358-23-6, Trifluoromethylsulfonic acid anhydride 487-89-8, Indole-3-carboxaldehyde 496-16-2 539-74-2 618-46-2 700-44-7 720-73-0 827-52-1 873-62-1 873-74-5 1074-36-8 1592-95-6 1667-11-4 1906-95-2 2237-30-1 2295-31-0, 2,4-Thiazolidinedione 2417-72-3, Methyl 4-bromomethylbenzoate 2969-81-5 3218-36-8, [1,1'-Biphenyl]-4-carboxaldehyde 5416-80-8 7605-28-9 7770-45-8 14501-66-7 14660-52-7 15231-91-1 15861-24-2, 1H-Indole-5-carbonitrile 17201-43-3, .α.-Bromo-p-tolunitrile 17243-13-9 17696-11-6 22042-71-3 23814-12-2, 1H-Benzotriazole-5-carboxylic acid 25952-53-8 28188-41-2 32213-95-9 34114-12-0 37748-09-7 39515-51-0, 3-Phenoxybenzaldehyde 56358-62-4 73732-51-1 74003-55-7 149104-90-5 182897-42-3 503826-15-1 503828-46-4 720695-39-6 720697-15-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of heterocyclic ligands for acid-stabilized insulin analogs)
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(preparation of heterocyclic ligands for acid-stabilized insulin analogs)
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(preparation of heterocyclic ligands for acid-stabilized insulin analogs)
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RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic ligands for acid-stabilized insulin analogs)

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RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic ligands for acid-stabilized insulin analogs)

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RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic ligands for acid-stabilized insulin analogs)

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RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of heterocyclic ligands for acid-stabilized insulin analogs)

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE
(1) Brader, M; BIOCHEMISTRY 1991, V30(27), P6636 HCAPLUS
(2) Dunn, M; US 5830999 A 1998 HCAPLUS
(3) Ferrari, D; BIOPOLYMERS 2001, V62(5), P249 HCAPLUS

(4) Huang, S; BIOCHEMISTRY 1997, V36, P9878 HCAPLUS
(5) Kaarsholm, N; WO 03027081 A 2003 HCAPLUS
(6) McGraw, S; PHARMACEUTICAL RESEARCH 1990, V7(6), P600 HCAPLUS
(7) Novonordisk As; WO 0023098 A 2000 HCAPLUS
IT **503829-76-3P 503829-77-4P 503829-78-5P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic ligands for acid-stabilized insulin analogs)
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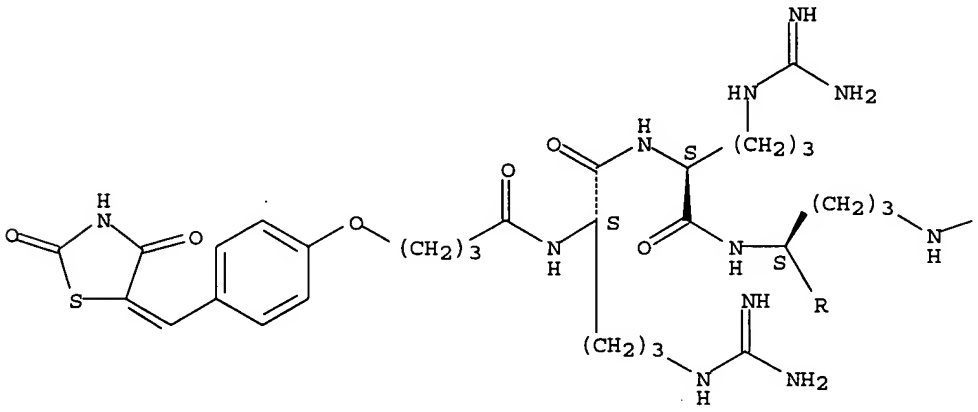
CN L-Argininamide, N2-[4-[4-[(2,4-dioxo-5-thiazolidinylidene)methyl]phenoxy]-1-oxobutyl]-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



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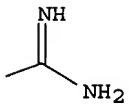

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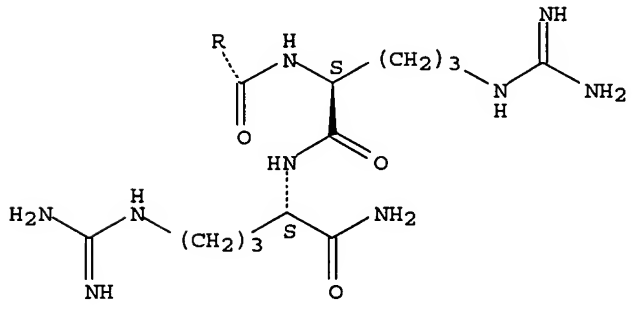

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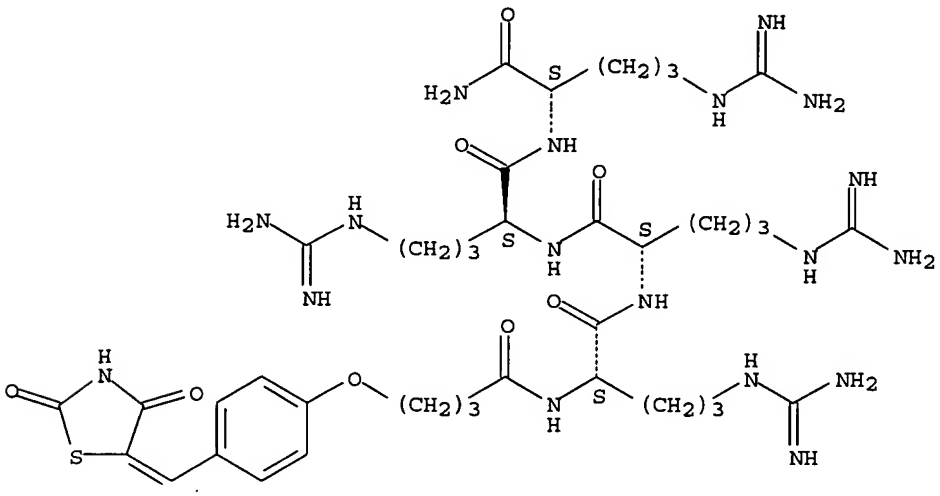
Absolute stereochemistry.
Double bond geometry unknown.



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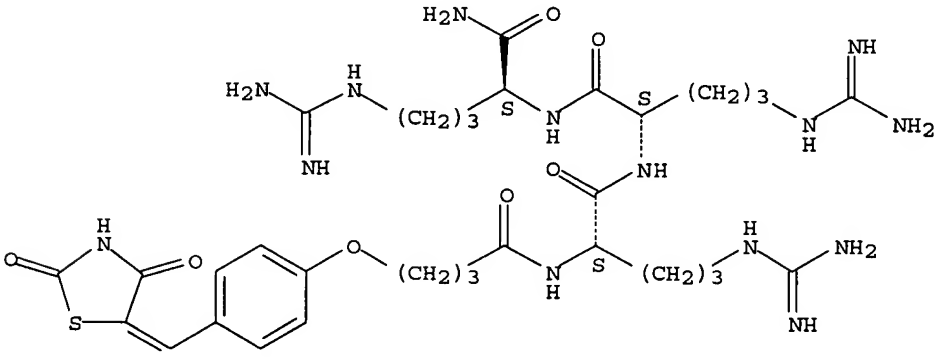
CN L-Argininamide, N2-[4-[4-[(2,4-dioxo-5-thiazolidinylidene)methyl]phenoxy]-1-oxobutyl]-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



L18 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:261820 HCAPLUS
DN 138:287978
ED Entered STN: 04 Apr 2003
TI Novel ligands for the HisB10 Zn2+ sites of the R-state insulin hexamer
IN Olsen, Helle Birk; Kaarsholm, Niels C.; Madsen, Peter; Ostergaard, Soren; Ludvigsen, Svend; Jakobsen, Palle; Petersen, Anders Klarskov; Steensgaard, Dorte Bjerre
PA Novo Nordisk A/S, Den.; Novo Nordisk Health Care AG
SO PCT Int. Appl., 342 pp.
CODEN: PIXXD2
DT Patent
LA English

IC ICM C07D249-00
CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 2, 21
FAN.CNT 1

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PI	WO 2003027081	A2	20030403	WO 2002-DK595	20020913
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	EP 1429763	A2	20040623	EP 2002-774468	20020913
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	US 2003229120	A1	20031211	US 2003-332541	20030514
PRAI	DK 2001-1337	A	20010914		
	US 2001-323925P	P	20010921		
	DK 2002-1066	A	20020705		
	US 2002-396051P	P	20020710		
	WO 2002-DK595	W	20020913		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2003027081	ICM	C07D249-00
US 2003229120	ECLA	A61K031/4192; A61K031/426; C07D249/18; C07D257/04D2; C07D257/04D2C1; C07D257/04D2C3; C07D277/20; C07D403/04+257+209; C07D417/06+277B+231; C07D417/06+277B+209C; C07D417/06+277B+213; C07D417/6+277B+215; C07D417/06+277B+249; C07D417/06+307+277B; C07D417/06+317+277B; C07D417/10+277B+233; C07D417/10+277B+213; C07D417/14+277B+277B+211; C07D417/14+307B+277B+231; C07D471/04+221B+209B

OS MARPAT 138:287978
AB Novel ligands for the HisB10 Zn2+ sites of the R-state insulin hexamer that are capable of prolonging the action of insulin prepns. are disclosed. The ligands stabilize the hexamers and modify solubility in the neutral range, thus releasing insulin slowly following s.c. injection. Zinc-binding ligands A-B-C-D-X [A is a group which reversibly binds to a HisB10 Zn2+ site of an insulin hexamer; B is a linker selected from a valence bond or a chemical group GB of formula -B1-B2-CO-, -B1-B2-SO2-, -B1-B2-CH2-, or -B1-B2-NH-, where B1 is a valence bond, O, S, NH, or alkylimino and B2 is a valence bond, alk(en)(yn)ylene, (hetero)arylene, alkanedioyl, etc.; C is a fragment consisting of 0-5 neutral amino acids; D is a fragment comprising 1 to 20 pos. charged groups selected from amino or guanidino groups; X is OH, NH2 or a diamino group], including pharmaceutically-acceptable salts, isomers or racemates, are claimed. Thus, benzotriazol-5-ylcarbonyl-Gly2-Arg5-NH2 (BT-G2R5) was prepared and its effect on the pH-solubility profile of an insulin preparation is shown graphically.
ST zinc binding ligand prepn effect insulin soly; peptide ligand prepn insulin hexamer site
IT Human
(novel ligands for histidine-B10 zinc(II) sites of R-state insulin hexamer)
IT Peptides, preparation
RL: BCP (Biochemical process); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(novel ligands for histidine-B10 zinc(II) sites of R-state insulin

hexamer)
IT Ligands
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(novel ligands for histidine-B10 zinc(II) sites of R-state insulin hexamer)
IT 1779-11-9P 34114-12-0P 73732-51-1P 503826-15-1P 503827-07-4P
503827-58-5P 503827-59-6P 503827-67-6P 503827-81-4P 503827-95-0P
503828-19-1P
RL: BCP (Biochemical process); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(novel ligands for histidine-B10 zinc(II) sites of R-state insulin hexamer)
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RL: BCP (Biochemical process); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(novel ligands for histidine-B10 zinc(II) sites of R-state insulin hexamer)

IT 9004-10-8D, Insulin, hexameric 11061-68-0, Human insulin 504385-19-7
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504385-25-5 504385-26-6 504385-27-7 504385-28-8 504385-29-9
504385-30-2
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(novel ligands for histidine-B10 zinc(II) sites of R-state insulin hexamer)

IT 62-53-3, Aniline, reactions 92-69-3, 4 Phenylphenol 92-70-6, 3 Hydroxy 2-naphthalenecarboxylic acid 93-09-4, 2 Naphthoic acid 95-20-5, 2 Methylindole 99-76-3, Methyl 4 hydroxybenzoate 99-88-7, 4 Isopropylaniline 104-86-9, 4 Chlorobenzylamine 104-94-9, p Anisidine 105-36-2, Ethyl bromoacetate 107-14-2, Chloroacetonitrile 108-95-2, Phenol, reactions 123-08-0, 4 Hydroxybenzaldehyde 123-11-5, 4 Methoxybenzaldehyde, reactions 135-19-3, 2 Naphthol, reactions 150-13-0, 4 Aminobenzoic acid 487-89-8, 3 Indolecarboxaldehyde 539-74-2, Ethyl 3 bromopropionate 616-76-2, 5 Formylsalicylic acid 620-20-2, 3 Chlorobenzyl chloride 873-62-1, 3 Cyanophenol 873-74-5, 4 Aminobenzonitrile 1074-36-8, 4 Mercaptobenzoic acid 1592-95-6, 3 Bromo 9h carbazole 1667-11-4, 4 Phenylbenzyl chloride 2237-30-1, 3

Aminobenzonitrile 2295-31-0, 2 4 Thiazolidinedione 2417-72-3, 4 Bromomethyl benzoic acid methyl ester 2969-81-5, 4 Bromobutyric acid ethyl ester 3218-36-8, 4 Biphenylcarbaldehyde 5416-80-8, 1H-Indole-3-carboxaldehyde 2 methyl 7605-28-9, Phenylsulfonylacetonitrile 7770-45-8, 4 Hydroxy 1 naphthaldehyde 15231-91-1, 6 Bromo 2 naphthalenol 15861-24-2, 5 Cyanoindole 16136-52-0, 4 Cyanoindole 17201-43-3, α Bromo p Tolunitrile 17243-13-9, 5 Chlorosulfonylsalicylic acid 17696-11-6, 8 Bromooctanoic acid 23814-12-2, 5-Benzotriazolecarboxylic acid 28188-41-2, 3 Bromomethylbenzonitrile 37748-09-7, 3 Formylphenoxyacetic acid 39515-51-0, 3 Phenoxybenzaldehyde 56358-62-4, 6 Formyl 2 naphthalenecarbonitrile 74003-55-7, 3 4 Dibromobenzaldehyde 75178-96-0 149104-90-5, 4 Acetylphenylboronic acid 262601-94-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(novel ligands for histidine-B10 zinc(II) sites of R-state insulin hexamer)

IT 2314-37-6P, 3 Iodo 4 methoxybenzaldehyde 3484-18-2P, 2 Ethylindole 27065-94-7P 39807-75-5P 57102-93-9P, 9H-Carbazole-3-carbonitrile 57928-72-0P 57928-84-4P 59213-02-4P 78119-82-1P 80531-13-1P 92991-64-5P 95202-42-9P 99865-70-0P 137988-24-0P 138423-98-0P 177548-00-4P 219685-17-3P 405924-26-7P 503829-88-7P 503829-89-8P 503829-90-1P 503829-91-2P 503829-92-3P 503829-93-4P 503829-94-5P 503829-95-6P 503829-96-7P 503829-97-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(novel ligands for histidine-B10 zinc(II) sites of R-state insulin hexamer)

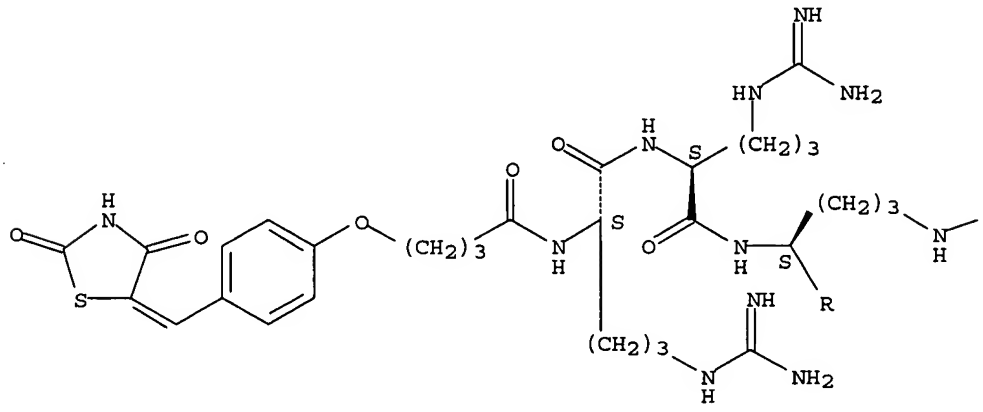
IT **503829-76-3P 503829-77-4P 503829-78-5P**
RL: BCP (Biochemical process); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(novel ligands for histidine-B10 zinc(II) sites of R-state insulin hexamer)

RN 503829-76-3 HCAPLUS

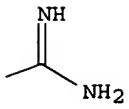
CN L-Argininamide, N2-[4-[4-[(2,4-dioxo-5-thiazolidinylidene)methyl]phenoxy]-1-oxobutyl]-L-arginyl-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

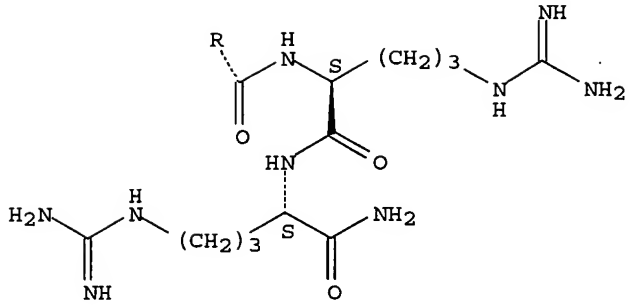
PAGE 1-A



PAGE 1-B

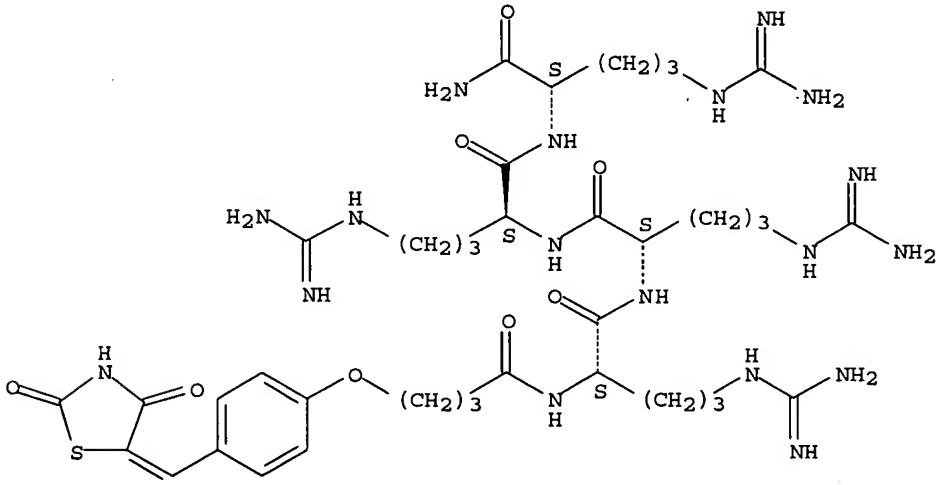


PAGE 2-A



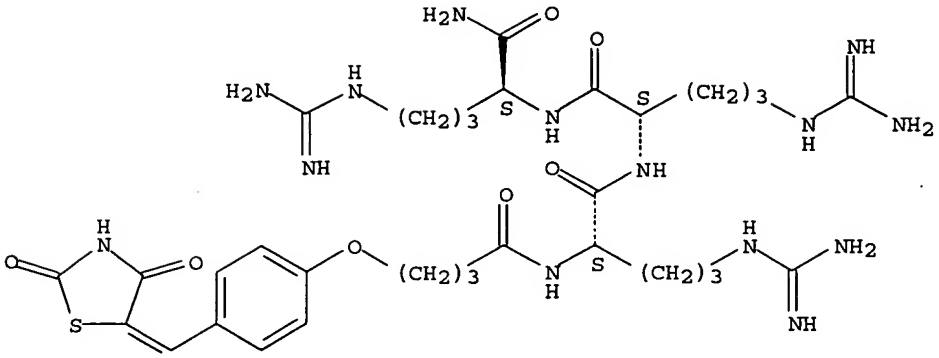
RN 503829-77-4 HCAPLUS
CN L-Argininamide, N2-[4-[4-[(2,4-dioxo-5-thiazolidinylidene)methyl]phenoxy]-1-oxobutyl]-L-arginyl-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 503829-78-5 HCAPLUS
CN L-Argininamide, N2-[4-[4-[(2,4-dioxo-5-thiazolidinylidene)methyl]phenoxy]-1-oxobutyl]-L-arginyl-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



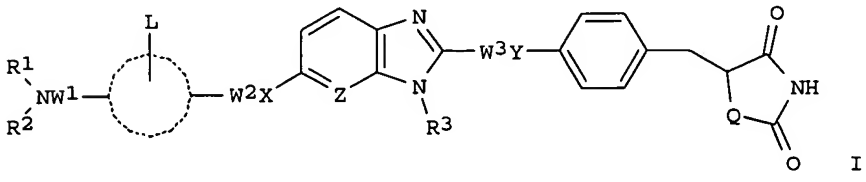
L18 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:479961 HCAPLUS
DN 137:41755
ED Entered STN: 26 Jun 2002
TI Antidiabetic agents containing amine derivatives having benzimidazole or imidazopyridine ring and their other uses
IN Fujita, Takashi; Wada, Kunio; Oguchi, Minoru; Honma, Eiji; Fujiwara, Toshihiko
PA Sankyo Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 109 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
IC ICM A61K031-427
ICS A61P001-04; A61P001-18; A61P003-04; A61P003-06; A61P003-10; A61P007-00; A61P009-08; A61P009-10; A61P011-06; A61P013-12; A61P015-00; A61P017-00; A61P017-04; A61P017-06; A61P017-10; A61P019-02; A61P019-10; A61P025-00; A61P025-04
CC 1-10 (Pharmacology)
Section cross-reference(s): 28, 63
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2002179568	A2	20020626	JP 2001-308814	20011004
PRAI JP 2000-307159	A	20001006		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
JP 2002179568	ICM	A61K031-427
	ICS	A61P001-04; A61P001-18; A61P003-04; A61P003-06; A61P003-10; A61P007-00; A61P009-08; A61P009-10; A61P011-06; A61P013-12; A61P015-00; A61P017-00; A61P017-04; A61P017-06; A61P017-10; A61P019-02; A61P019-10; A61P025-00; A61P025-04

OS MARPAT 137:41755
GI



I

AB Prophylactic and/or therapeutic agents for diabetes, glucose intolerance, diabetic complications, or gestational diabetes contain the derivs. I (R1 = carbamoyl which may have 1-2 α, thiocarbamoyl which may have 1-2 α, sulfonyl having 1 α, carbonyl having 1 α; R2, R3 = H, C1-10 alkyl, C6-10 aryl, which may have 1-3 β, C7-16 aralkyl which may have 1-3 β on the aryl moiety; W1-W3 = direct bond, C1-8 alkylene; X, Y, Q = O, S; Z = :CH, N' Ar = benzene or naphthalene ring substituted with 1-4 L; L = H, C1-6 alkyl, C6-10 aryl which may have 1-3 β, C7-16 aralkyl which may have 1-3 β on the aryl moiety; definitions of α and β are given) or their pharmacol. acceptable salts. I and their salts are also useful as insulin resistance improving agents, hypoglycemics, inflammation inhibitors, immunomodulators, aldose reductase inhibitors, 5-lipoxygenase inhibitors, lipid peroxide formation inhibitors, PPAR activators, antiosteoporotic agents, leukotriene antagonists, adipocyte conversion promoters, cancer cell growth inhibitors, and Ca blockers. Feeding diabetic KK mice with feed containing 0.01% 1-(4-chlorophenyl)-3-[4-[2-[4-(2,4-dioxothiazolidin-5-ylmethyl)phenoxymethyl]-1-methyl-1H-benzimidazol-6-yloxy]-2,6-dimethylphenyl]thiourea (II) for 3 days showed 48.9% hypoglycemic effect. Capsules, tablets, and granules containing II were also formulated.

ST dioxothiazolidine compd prepn antidiabetic; benzimidazole compd prepn antidiabetic; aldose reductase inhibitor benzimidazole compd prepn; thiourea compd prepn hypoglycemic

IT Peroxisome proliferator-activated receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(activators; preparation of benzimidazole or imidazopyridine compds. as antidiabetic agents)

IT Adipose tissue
(adipocyte, promoters for conversion into; preparation of benzimidazole or imidazopyridine compds. as antidiabetic agents)

IT Ion channel blockers
(calcium; preparation of benzimidazole or imidazopyridine compds. as antidiabetic agents)

IT Pregnancy
(gestational diabetes mellitus, treatment of; preparation of benzimidazole or imidazopyridine compds. as antidiabetic agents)

IT Diabetes mellitus
(gestational, treatment of; preparation of benzimidazole or imidazopyridine compds. as antidiabetic agents)

IT Inflammation
Neoplasm
(inhibitors; preparation of benzimidazole or imidazopyridine compds. as antidiabetic agents)

IT Peroxidation
(lipid, inhibitors; preparation of benzimidazole or imidazopyridine compds. as antidiabetic agents)

IT Lipids, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(peroxidn., inhibitors; preparation of benzimidazole or imidazopyridine compds. as antidiabetic agents)

IT Anti-inflammatory agents
Antidiabetic agents
Antitumor agents
Immunomodulators
Leukotriene antagonists
(preparation of benzimidazole or imidazopyridine compds. as antidiabetic agents)

IT Osteoporosis
(therapeutic agents; preparation of benzimidazole or imidazopyridine compds. as antidiabetic agents)

IT Diabetes mellitus
Osteoporosis
(treatment of; preparation of benzimidazole or imidazopyridine compds. as antidiabetic agents)

IT 9028-31-3, Aldose reductase 80619-02-9, 5-Lipoxygenase

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitors; preparation of benzimidazole or imidazopyridine compds. as antidiabetic agents)

IT 223132-58-9P 223132-62-5P 223132-63-6P 223132-64-7P 223132-65-8P
223132-66-9P 223132-67-0P 223132-68-1P 223132-69-2P 223132-70-5P
223134-42-7P 301548-52-7P 301548-55-0P 301548-56-1P 301548-57-2P
301548-58-3P 301548-59-4P 301548-60-7P 301548-61-8P 301548-63-0P
301548-64-1P 301548-65-2P 301548-71-0P 301548-72-1P 301548-73-2P
301548-74-3P 301548-75-4P 301548-76-5P 301548-77-6P 301548-78-7P
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301548-91-4P 301548-92-5P 301548-93-6P 301548-94-7P 301548-95-8P
301548-96-9P 301548-98-1P 301548-99-2P 301549-01-9P 301549-03-1P
301549-04-2P 301551-44-0P 301551-56-4P 438577-70-9P 438577-71-0P
438577-72-1P 438577-73-2P 438577-74-3P 438577-75-4P 438577-76-5P
438577-77-6P 438577-78-7P 438577-79-8P 438577-80-1P 438577-81-2P
438577-82-3P 438577-83-4P 438577-84-5P 438577-88-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazole or imidazopyridine compds. as antidiabetic agents)

IT 86-84-0, 1-Naphthyl isocyanate 94-53-1, Piperonylic acid 98-59-9, p-Toluenesulfonyl chloride 98-60-2, 4-Chlorobenzenesulfonyl chloride 98-88-4, Benzoyl chloride 98-89-5, Cyclohexanecarboxylic acid 99-94-5, p-Toluic acid 100-28-7, 4-Nitrophenyl isocyanate 103-71-9, Phenyl isocyanate, reactions 103-72-0, Phenyl isothiocyanate 104-10-9, 2-(4-Aminophenyl)ethanol 104-12-1, 4-Chlorophenyl isocyanate 109-90-0, Ethyl isocyanate 111-25-1, Hexyl bromide 118-46-7, 1-Amino-7-naphthol 124-63-0, Methanesulfonyl chloride 329-01-1, α,α,α-Trifluoro m-tolyl isocyanate 551-06-4, 1-Naphthyl isothiocyanate 618-46-2, 3-Chlorobenzoyl chloride 622-78-6, Benzyl isothiocyanate 1195-45-5, 4-Fluorophenyl isocyanate 1421-49-4, 3,5-Di-tert-butyl-4-hydroxybenzoic acid 1424-53-9, Benzenesulfonyl isothiocyanate 1548-13-6, α,α,α-Trifluoro p-tolyl isocyanate 1878-65-5, (3-Chlorophenyl)acetic acid 2131-55-7, 4-Chlorophenyl isothiocyanate 2243-83-6, 2-Naphthoyl chloride 2285-12-3, α,α,α-Trifluoro o-tolyl isocyanate 2525-62-4, n-Hexyl isocyanate 3096-70-6, 4-Amino-3,5-dimethylphenol 3173-56-6, Benzyl isocyanate 3300-51-4, 4-(Trifluoromethyl)benzylamine 3400-45-1, Cyclopentanecarboxylic acid 4404-45-9, Hexyl isothiocyanate 4411-25-0, 1-Adamantyl isocyanate 5416-93-3, 4-Methoxyphenyl isocyanate 6553-96-4, 2,4,6-Triisopropylbenzenesulfonyl chloride 16413-26-6, 3-Cyanophenyl isocyanate 19962-06-2, tert-Butyl (3-hydroxyphenyl)carbamate 20260-53-1, Nicotinoyl chloride hydrochloride 24424-99-5, Di-tert-butyl dicarbonate 28178-42-9, 2,6-Diisopropylphenyl isocyanate 33742-70-0 38360-81-5, 3,5-Dimethylbenzenethiol 39178-35-3, Isonicotinoyl chloride hydrochloride 54840-15-2, tert-Butyl (4-hydroxyphenyl)carbamate 59025-55-7, 2,4-Difluorophenyl isocyanate 64318-28-1, tert-Butyl 2-(4-hydroxyphenyl)ethylcarbamate 72482-64-5, 2,4-Difluorobenzoyl chloride 74772-78-4, 5-(4-Hydroxybenzyl)thiazolidine-2,4-dione 179087-93-5, 4-(2,4-Dioxothiazolidin-5-ylmethyl)phenoxyacetic acid 189093-94-5 196394-09-9 299176-17-3 301548-20-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzimidazole or imidazopyridine compds. as antidiabetic agents)

IT 104060-23-3P, 4-(2-Hydroxyethyl)phenylcarbamic acid tert-butyl ester
223132-37-4P 223132-38-5P 223132-77-2P 223133-30-0P 223133-31-1P
223133-34-4P 223134-14-3P 223134-15-4P 223134-16-5P 223134-17-6P
301548-18-5P 301548-19-6P 301548-21-0P 301548-22-1P 301548-23-2P
301548-24-3P 301548-25-4P 301548-26-5P 301548-27-6P 301548-28-7P
301548-29-8P 301548-30-1P 301548-31-2P 301548-32-3P 301548-33-4P
301548-36-7P 301548-37-8P 301548-38-9P 301548-39-0P 301548-40-3P
301548-41-4P 301548-42-5P 301548-43-6P 301548-44-7P 301548-45-8P
301548-46-9P 301548-47-0P 301548-48-1P 438577-85-6P 438577-86-7P
438577-87-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzimidazole or imidazopyridine compds. as antidiabetic agents)

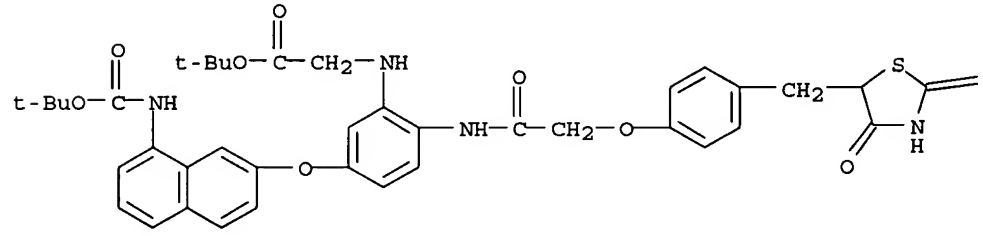
IT 9004-10-8, Insulin, biological studies
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(resistance, treatment of; preparation of benzimidazole or imidazopyridine compds. as antidiabetic agents)

IT **438577-87-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzimidazole or imidazopyridine compds. as antidiabetic agents)

RN 438577-87-8 HCAPLUS

CN Glycine, N-[5-[[8-[[(1,1-dimethylethoxy)carbonyl]amino]-2-naphthalenyl]oxy]-2-[[[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenoxy]acetyl]amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B

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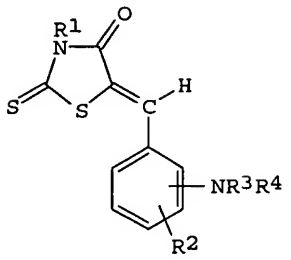
L18 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2004 ACS on STN
AN 1992:490273 HCAPLUS
DN 117:90273
ED Entered STN: 05 Sep 1992
TI Preparation of 5-benzylidenerhodanine derivatives as aldose reductase inhibitors
IN Kato, Hiroki; Sueda, Noriyoshi; Kinoshita, Nobusuke
PA Nisshin Seifun K. K., Japan
SO Jpn. Kokai Tokkyo Koho, 16 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
IC ICM C07D277-36
ICS A61K031-425; A61K031-455; C07D417-12; C12N009-99
CC 28-7 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 7, 63
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 04099770	A2	19920331	JP 1990-217068	19900820
	JP 3024781	B2	20000321		
PRAI	JP 1990-217068		19900820		

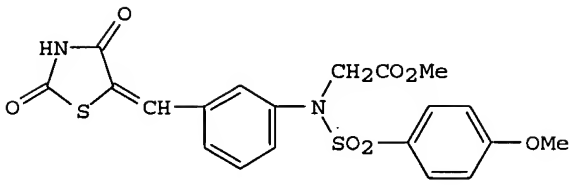
CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES

JP 04099770 ICM C07D277-36
ICS A61K031-425; A61K031-455; C07D417-12; C12N009-99
OS MARPAT 117:90273
GI



I



II

AB The title compds. [I; R1 =H, HO2CCH2, alkoxycarbonylmethyl; R2 = H, halo, alkyl, alkoxy; R3 = H, alkyl, benzyl, carboxymethyl, alkoxycarbonylmethyl; R4 = alkyl, (un)substituted alkanoyl or alkenoyl, XAr; X = CO, SO2; Ar = (un)substituted Ph, naphthyl, thienyl, pyridyl, aryl; provided that when R3 = H or alkyl, R4 = group other than alkyl], useful for treatment for diabetes complications, are prepared Thus, a mixture of rhodanine 11, Me [(3-formylphenyl)(4-methoxybenzenesulfonyl)amino]acetate 12, and AcONH4 12 mmol in PhMe was refluxed for 2 h to give 75.4% title compound II. I at 10-6 M in vitro inhibited 81.4-94.2% aldose reductase. Tablets, granules, and an injection solution containing II were formulated.

ST benzylidenerhodanine prepn aldose reductase inhibitor; rhodanine benzylidene aldose reductase inhibitor; diabetes complication treatment benzylidenerhodanine

IT Antidiabetics and Hypoglycemics
(benzylidenerhodanine derivs.)

IT 142912-37-6 142912-38-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(benzylidenation by, of rhodanine)

IT 141-84-4, Rhodanine
RL: RCT (Reactant); RACT (Reactant or reagent)
(benzylidenation of, by Me (formylamino)acetate)

IT 74-88-4, Methyl iodide, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification by, of (carboxymethyl)rhodanine)

IT 9028-31-3, Aldose reductase
RL: USES (Uses)
(inhibitors, benzylidenerhodanine derivs.)

IT 142911-49-7P 142911-50-0P 142911-51-1P 142911-52-2P 142911-53-3P
142911-54-4P 142911-55-5P 142911-56-6P 142911-57-7P 142911-58-8P
142911-59-9P 142911-60-2P 142911-61-3P 142911-62-4P 142911-63-5P
142911-64-6P 142911-65-7P 142911-66-8P 142911-67-9P 142911-68-0P
142911-69-1P 142911-70-4P **142911-71-5P** 142911-72-6P
142911-73-7P 142911-74-8P 142911-75-9P 142911-76-0P 142911-77-1P
142911-78-2P 142911-79-3P 142911-80-6P 142911-81-7P 142911-82-8P
142911-83-9P 142911-84-0P 142911-85-1P 142911-86-2P 142911-87-3P
142911-88-4P 142911-89-5P 142911-90-8P 142911-91-9P 142911-92-0P
142911-93-1P 142911-94-2P 142911-95-3P 142911-96-4P 142911-97-5P

142911-98-6P **142911-99-7P** 142912-00-3P 142912-01-4P
142912-02-5P 142912-03-6P 142912-04-7P 142912-05-8P 142912-06-9P
142912-07-0P 142912-08-1P 142912-09-2P 142912-10-5P 142912-11-6P
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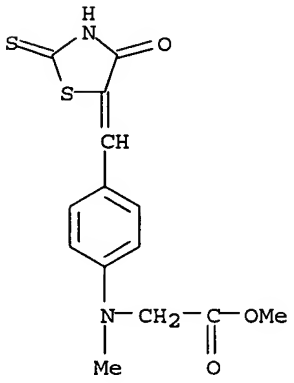
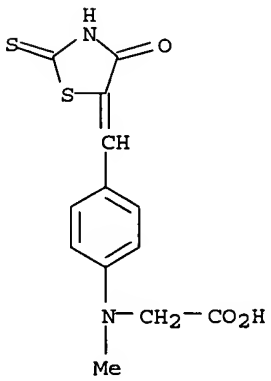
142912-27-4P 142912-28-5P 142912-29-6P 142912-30-9P 142912-31-0P
142912-32-1P 142912-33-2P 142912-34-3P 142912-35-4P 142912-36-5P
142935-90-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as aldose reductase inhibitor)

IT **142911-71-5P 142911-99-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as aldose reductase inhibitor)

RN 142911-71-5 HCAPLUS
CN Glycine, N-methyl-N-[4-[(4-oxo-2-thioxo-5-thiazolidinylidene)methyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 142911-99-7 HCAPLUS
CN Glycine, N-methyl-N-[4-[(4-oxo-2-thioxo-5-thiazolidinylidene)methyl]phenyl]- (9CI) (CA INDEX NAME)



=> b home
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